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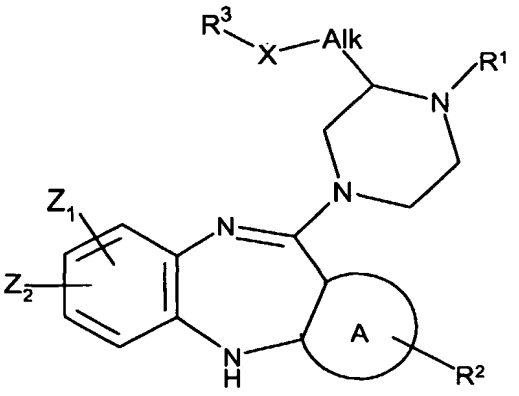
(54) Title: PIPERAZINE SUBSTITUTED ARYL BENZODIAZEPINES



(I)

(57) Abstract: Described herein are compounds of formula (I) wherein: is an optionally benzo-fused five or six member aromatic ring having zero to three hetero atoms independently selected from N, S, and O; Alk is (C_{1-4}) alkylene or hydroxy substituted (C_{1-4}) alkylene; X is oxygen or sulfur; R^1 is hydrogen, (C_{1-6}) fluoroalkyl, (C_{3-6}) cycloalkyl, or (C_{1-4}) alkyl, wherein the (C_{1-4}) alkyl is unsubstituted or substituted with hydroxy, methoxy, ethoxy, OCH_2CH_2OH, or -CN; R^2 is H, halogen, (C_{1-6}) fluoroalkyl, (C_{1-6}) cycloalkyl, OR^4, SR^4, $N0_2$, CN, COR^4, $C(O)OR^4$, $CONR^5R^6$, NR^5R^6, $S0_2NR^5R^6$, NR^5COR^4, $NR^5SO_2R^4$, optionally substituted aromatic, or (C_{1-6}) alkyl, wherein (C_{1-6}) alkyl is unsubstituted or substituted with a hydroxy group; R^3 is hydrogen, (C_{1-6}) fluoroalkyl, (C_{2-6}) alkenyl, Ar, (C_{1-4})alkyl-Ar, or (C_{1-4}) alkyl wherein (C_{1-4}) alkyl is unsubsituted or substituted with a phenyl; R^4 is hydrogen, (C_{1-6} alkyl, (C_{1-6}) fluoroalkyl, or optionally substituted aromatic; R^5 and R^6 are independently hydrogen, (C_{1-6}) alkyl, or optionally substituted aromatic, R^7 is hydrogen, (C_{1-6}) alkyl, (C_{1-6}) fluoroalkyl, or optionally substituted aromatic; R^8 and R^9 are independently hydrogen, (C_{1-6}) alkyl, or optionally substituted aromatic; Ar is optionally substituted phenyl, napthyl, monocyclic heteroaromatic or bicyclic heteroaromatic; Z^1 and Z^2 are independently selected from hydrogen, halogen, (C_{1-6}) alkyl, (C_{1-6}) fluoroalkyl, OR^7, SR^7, NO^2, CN, COR^7, $CONR^8R^9$, NR^8R^9, and optionally substituted aromatic; and all salts, solvates, optical and geometric isomers, and crystalline forms thereof. Also, described are the use of the compounds of formula (I) as antagonists of the dopamine D2 receptor and as agents for the treament of psychosis and bipolar disorders, and pharmaceutical formulations of the compounds of formula (I).

WO 2004/014895 A1